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SEARCH REQUEST FORM

Requester's Full Name: MARK BERTH Examiner #: 59193 Date: 1/24/06
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10813954
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

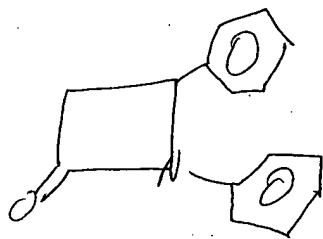
Inventors (please provide full names): _____

Earliest Priority Date: _____

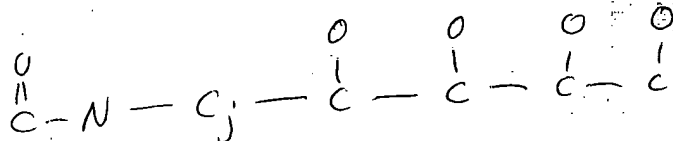
Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



compound must have this fragment



j = 1-3

STAFF USE ONLY

Searcher: _____

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: _____

Searcher Prep & Review Time: _____

Online Time: _____

Type of Search

____ NA Sequence (#)

____ AA Sequence (#)

____ Structure (#)

____ Bibliographic

____ Litigation

____ Fulltext

____ Other

Vendors and cost where applicable

____ STN _____ Dialog

____ Questel/Orbit _____ Lexis/Nexis

____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial _____ Oligomer _____ Score/Length
____ Interference _____ SPDI _____ Encode/Transl
____ Other (specify)

=> d his ful

(FILE 'HOME' ENTERED AT 09:31:32 ON 02 FEB 2006)

FILE 'REGISTRY' ENTERED AT 09:31:42 ON 02 FEB 2006

L1 STR
L2 0 SEA SSS SAM L1
L3 12 SEA SSS FUL L1

FILE 'HCAPLUS' ENTERED AT 09:33:51 ON 02 FEB 2006

L4 6 SEA ABB=ON PLU=ON L3

FILE 'BEILSTEIN' ENTERED AT 09:34:05 ON 02 FEB 2006

L5 1 SEA SSS FUL L1
L6 1 SEA ABB=ON PLU=ON L5/COM

FILE 'MARPAT' ENTERED AT 09:34:38 ON 02 FEB 2006

L7 STR L1
L8 0 SEA SSS SAM L7
L9 3 SEA SSS FUL L7
L10 2 SEA ABB=ON PLU=ON L9/COM
L11 0 SEA ABB=ON PLU=ON L10 NOT L4

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE HCAPLUS

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FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,428,406 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

NEW
* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE MARPAT
FILE CONTENT: 1969-PRESENT (VOL 144 ISS 5 (20060127/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6962795 08 NOV 2005
DE 1020040544 17 NOV 2005
EP 1595877 16 NOV 2005
JP 2005328067 24 NOV 2005
WO 2005112644 01 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:44:03 ON 02 FEB 2006

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STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

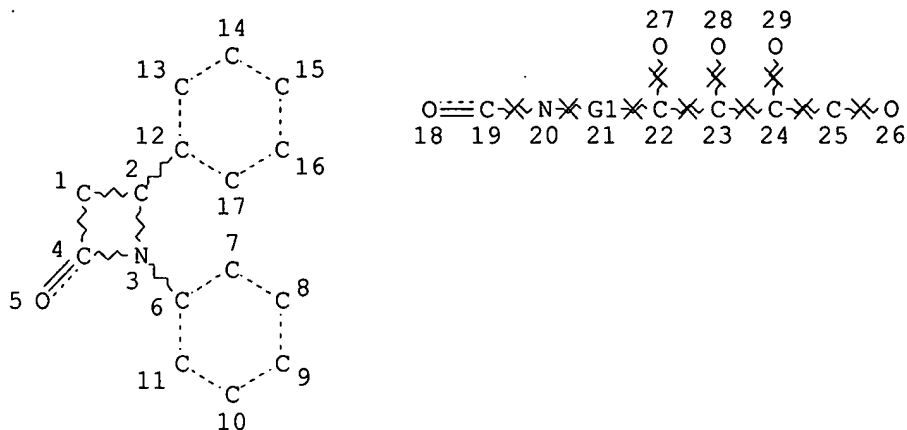
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d que stat 13

L1 STR



REP G1=(1-3) C
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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
 L3 12 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 5319 ITERATIONS
 SEARCH TIME: 00.00.01

12 ANSWERS

=> fil hcap
 FILE 'HCAPLUS' ENTERED AT 09:44:20 ON 02 FEB 2006
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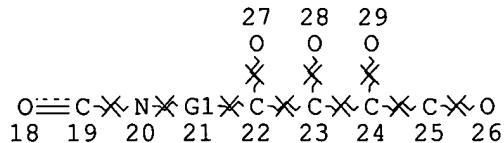
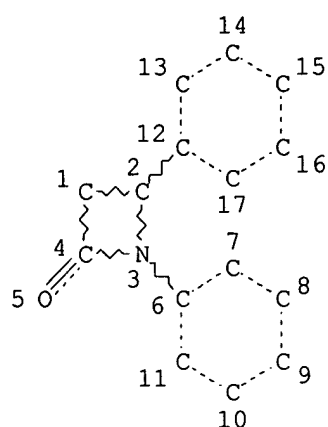
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FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6
 FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 STR



REP G1=(1-3) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE
L3 12 SEA FILE=REGISTRY SSS FUL L1
L4 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

=> d 14 ibib abs hitstr 1-6

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:588892 HCAPLUS
DOCUMENT NUMBER: 143:133694
TITLE: Preparation of diphenylazetidinone amino acid derivatives having cholesterol absorption inhibitory activity
INVENTOR(S): Alenfalk, Susanne; Dahlstroem, Mikael; Hunegnaw, Fana; Karlsson, Staffan; Lemurell, Malin; Lindqvist, Ann-Margret; Skjaeret, Tore; Starke, Ingemar
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005061452 | A1 | 20050707 | WO 2004-SE1960 | 20041221 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, | | | | |

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

GB 2003-29780

A 20031223

SE 2004-1907

A 20040721

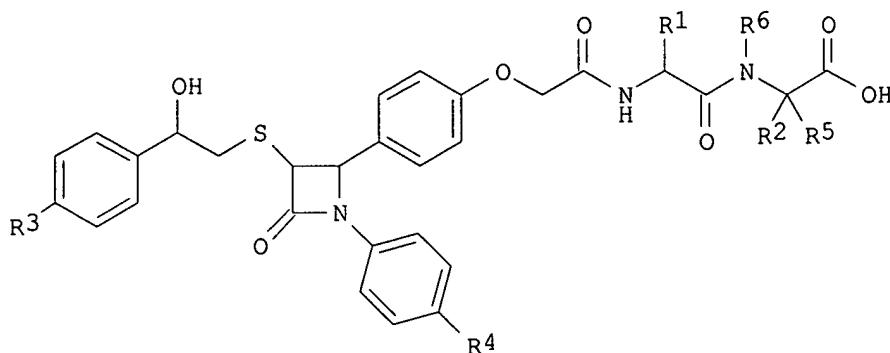
SE 2004-2785

A 20041115

OTHER SOURCE(S):

MARPAT 143:133694

GI



I

AB The invention relates to diphenylazetidinones I [R1, R2, R5 are independently H, (un)substituted alkyl, cycloalkyl or aryl; R3 is H, alkyl, halo, alkoxy or alkylthio; R4 is H, alkyl, halo or alkoxy; R6 is H, alkyl or arylalkyl; or R2 may form a ring with R5 or R6], or their pharmaceutically-acceptable salts, solvates, and prodrugs, and their use as cholesterol absorption inhibitors for the treatment of hyperlipidemia. Thus, 2-azetidinone I [R3, R4 = F; NHCHR1CONR6CR2R5CO2H = Gly-L-Ser-OH (R-configuration at 3- and 4-positions of the azetidine ring)], prepared by peptide coupling and LiAlH4 reduction of the benzoyl oxo group, showed 87% inhibition of 14C-cholesterol absorption.

IT **858103-64-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

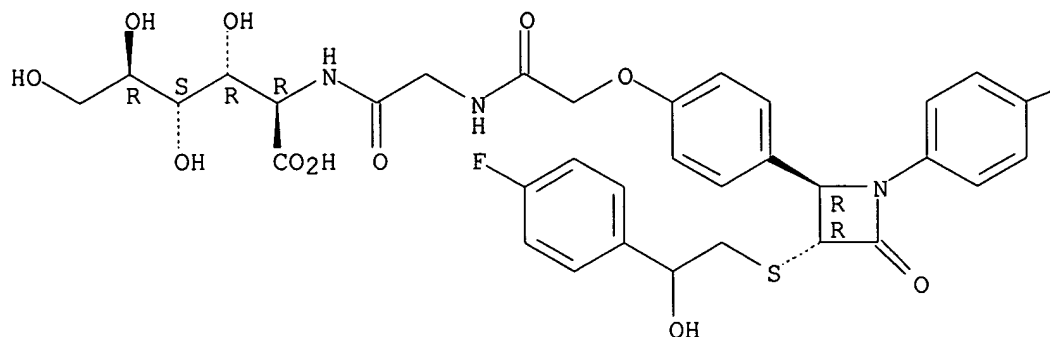
(preparation of diphenylazetidinone amino acid derivs. having cholesterol absorption inhibitory activity)

RN 858103-64-7 HCAPLUS

CN D-Gluconic acid, 2-deoxy-2-[[[4-[(2R,3R)-1-(4-fluorophenyl)-3-[[2-(4-fluorophenyl)-2-hydroxyethyl]thio]-4-oxo-2-azetidinyl]phenoxy]acetyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

— F

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

DOCUMENT NUMBER: 141:314568

DOCUMENT NUMBER: 1117511330
TITLE: Novel diphenyl azetidinone with improved physiological characteristics, corresponding production method, medicaments containing said compound and use of the latter

INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Lindenschmidt, Andreas; Flohr, Stefanie; Heuer, Hubert; Schaefer, Hans-Ludwig; Kramer, Werner; Galia, Eric; Glombik, Heiner

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

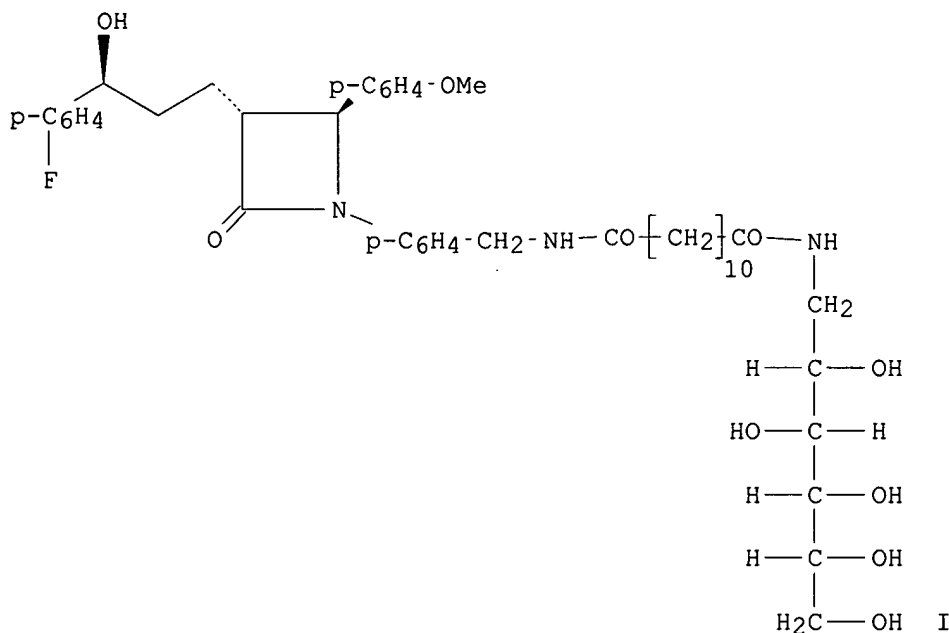
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004087655 | A1 | 20041014 | WO 2004-EP2690 | 20040316 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

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|--|----|----------|------------------|------------|
| DE 10314610 | A1 | 20041104 | DE 2003-10314610 | 20030401 |
| CA 2520689 | AA | 20041014 | CA 2004-2520689 | 20040316 |
| EP 1613589 | A1 | 20060111 | EP 2004-720854 | 20040316 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| US 2005020563 | A1 | 20050127 | US 2004-813954 | 20040331 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10314610 | A 20030401 |
| | | | US 2003-494456P | P 20030811 |
| | | | WO 2004-EP2690 | W 20040316 |

OTHER SOURCE(S): MARPAT 141:314568
GI



AB The invention relates to a novel di-Ph azetidinone (I) and its physiologically compatible salts, to a method for its production, to medicaments containing said

compound and to the use of the latter. Said compound is suitable for use for example as a hypolipidemic agent. Thus, dodecanedioic acid was reacted with thionyl chloride followed by MeOH to give a monomethyl ester, which was then reacted with glucamine and deesterified to give the monoamide intermediate (II). II was reacted with the previously known (2S,3R)-1-(4-aminomethylphenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-methoxyphenyl)azetidin-2-one to give I in 32% yield. In in vitro tests on mice, I had ED50 0.005 mg/mouse for 50% reduction of liver ¹⁴C-labeled cholesterol. In solubility tests, compared to a similar reference compound, I

had

better solubility in water, at pH's 1.2, 4.5, 6.8, and 8.0, and in both fasted- (28 µg/mL vs 5) and fed-state simulating intestinal fluids (454 µg/mL vs 18) (FaSSIF and FeSSIF).

IT 768394-99-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

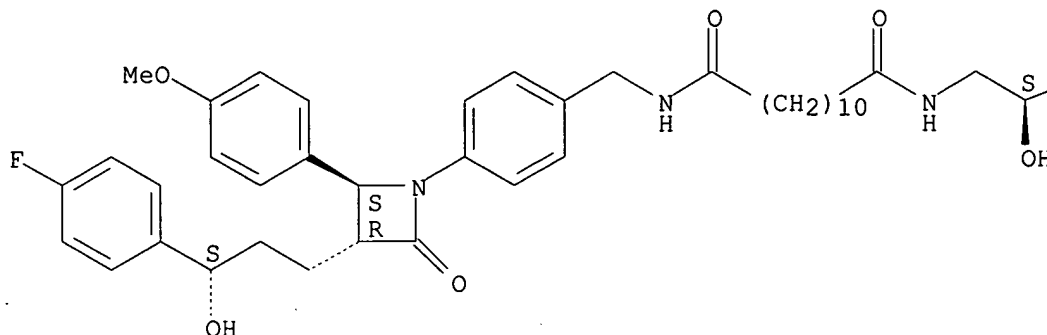
(preparation of 1,2-diphenylazetidinone alditol derivs. for use as hypolipidemics for treatment of hyperlipidemia)

RN 768394-99-6 HCAPLUS

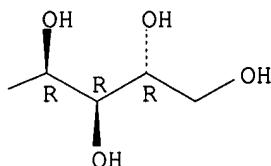
CN D-Glucitol, 1-deoxy-1-[[12-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-1,12-dioxododecyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 768394-97-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

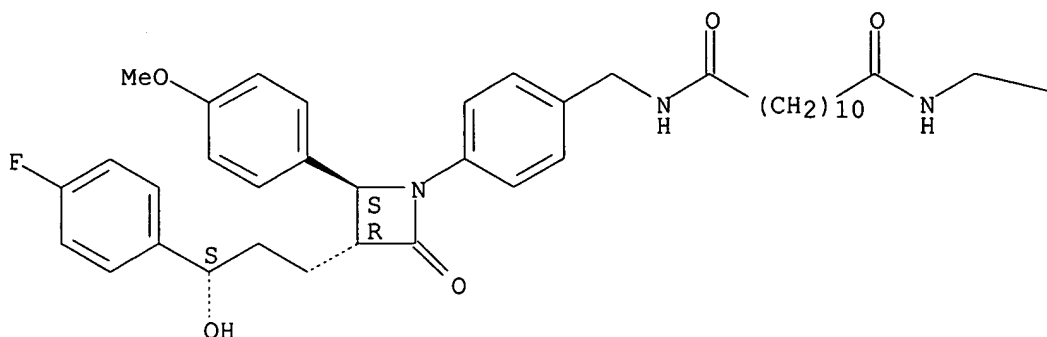
(preparation of 1,2-diphenylazetidinone alditol derivs. for use as hypolipidemics for treatment of hyperlipidemia)

RN 768394-97-4 HCAPLUS

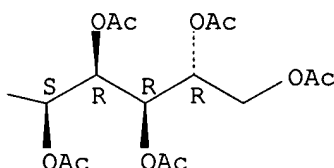
CN D-Glucitol, 1-deoxy-1-[[12-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-1,12-dioxododecyl]amino]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41434 HCAPLUS

DOCUMENT NUMBER: 140:111687

TITLE: Preparation of diphenylazetidinone peptide derivatives for treating disorders of lipid metabolism

INVENTOR(S): Starke, Ingemar; Dahlstrom, Mikael Ulf Johan; Lindqvist, Ann-Margret; Nordberg, Mats Peter; Skjaret, Tore; Lemurell, Malin Anita

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004005247 | A1 | 20040115 | WO 2003-GB2811 | 20030701 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| BR 2003012280 | A | 20050412 | BR 2003-12280 | 20030701 |

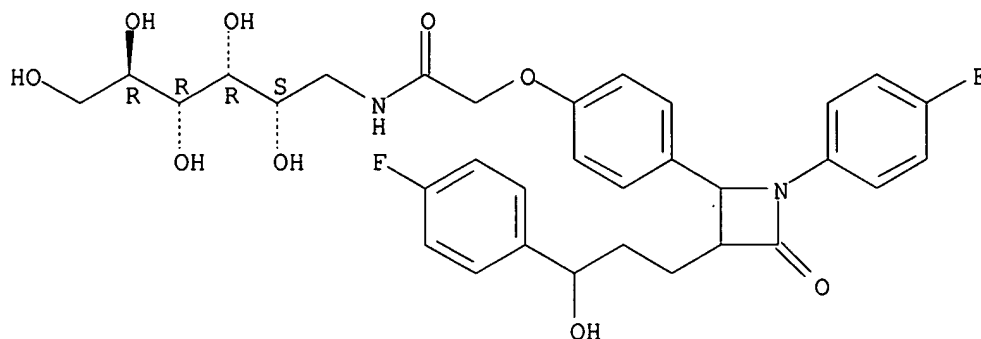
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IT      646523-74-2P
        RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
        (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (Uses)
        (preparation of diphenylazetidinone peptide derivs. for treating disorders
        of lipid metabolism)
RN      646523-74-2 HCAPLUS
CN      D-Glucitol, 1-deoxy-1-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-
        hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]acetyl]amino]- (9CI) (CA INDEX
        NAME)

```

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2850 HCAPLUS

DOCUMENT NUMBER: 140:77013

TITLE: Preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia

INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-Ludwig

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2004000804 | A1 | 20031231 | WO 2003-EP5815 | 20030604 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| DE 10227506 | A1 | 20040108 | DE 2002-10227506 | 20020619 |
| CA 2490109 | AA | 20031231 | CA 2003-2490109 | 20030604 |
| EP 1517892 | A1 | 20050330 | EP 2003-760591 | 20030604 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003011940 | A | 20050405 | BR 2003-11940 | 20030604 |
| NZ 537304 | A | 20051028 | NZ 2003-537304 | 20030604 |
| JP 2005533072 | T2 | 20051104 | JP 2004-514660 | 20030604 |
| US 2004082561 | A1 | 20040429 | US 2003-463807 | 20030618 |
| NO 2005000073 | A | 20050106 | NO 2005-73 | 20050106 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10227506 | A 20020619 |
| | | | US 2002-411984P | P 20020919 |

WO 2003-EP5815

W 20030604

OTHER SOURCE(S):
GI

MARPAT 140:77013

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R3, R4, R5, R6 = (un)substituted alkylene-(LAG)n; n = 1-5; LAG = sugar; amino sugar; amino acid, etc.] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of 1,4-diazabicyclo[2.2.2]octane with benzyl bromide II, e.g., prepared from 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone and 1,2-bisbromomethylbenzene, afforded diphenylazetidinone III. In rat liver chloesterol absorption assays, 26-examples of compds. I exhibited EC50 values ranging from 0.03-<1.0 (mg/mouse), e.g., the EC50 value of diphenylazetidinone III was 0.3. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia.

IT 640330-69-4P 641614-30-4P 641614-31-5P
641614-40-6P

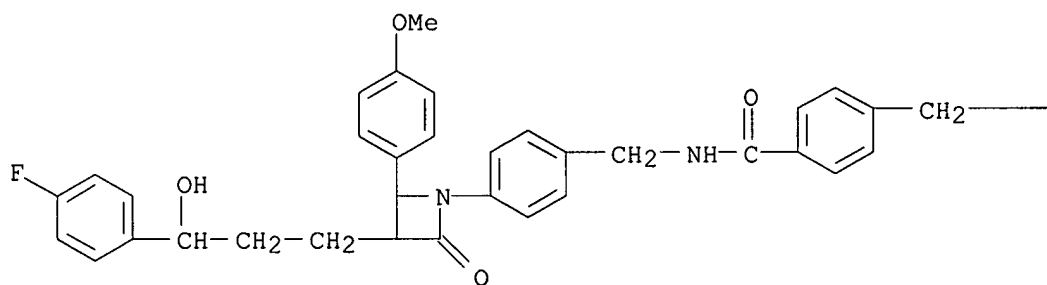
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia)

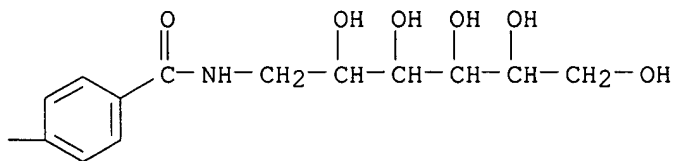
RN 640330-69-4 HCAPLUS

CN Hexitol, 1-deoxy-1-[[4-[[4-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]carbonyl]phenyl]methyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

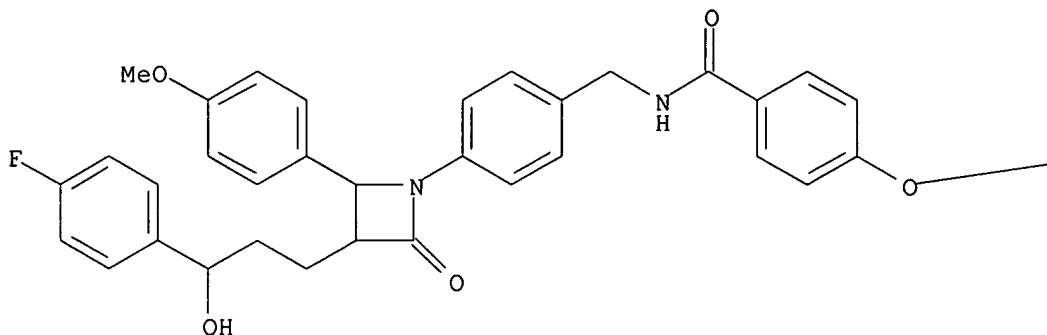


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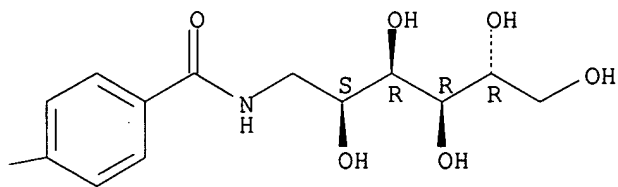
CN D-Glucitol, 1-deoxy-1-[[4-[4-[[[4-[3-[3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidiny]phenyl)methyl]amino]carbonyl]phenoxy]benzoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

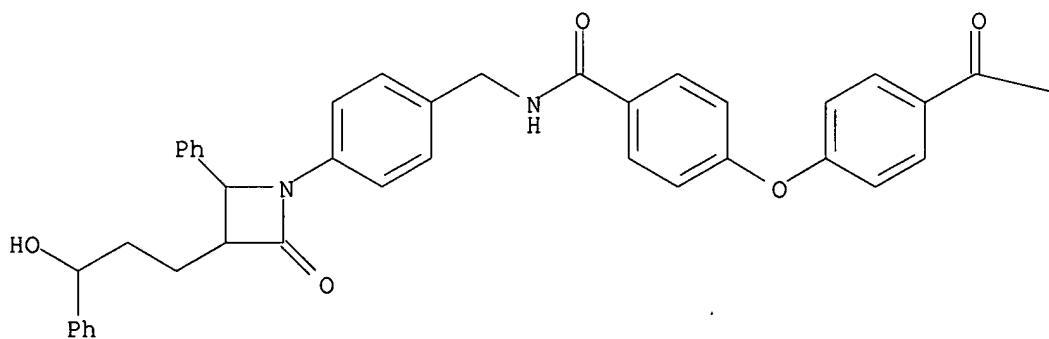


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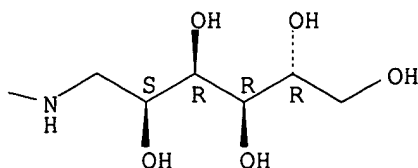
CN D-Glucitol, 1-deoxy-1-[[4-[4-[[[4-[3-(3-hydroxy-3-phenylpropyl)-2-oxo-4-phenyl-1-azetidiny]phenyl)methyl]amino]carbonyl]phenoxy]benzoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

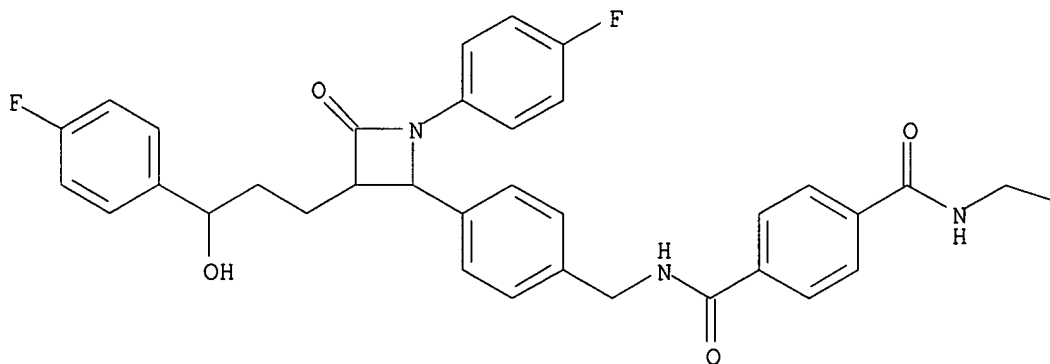


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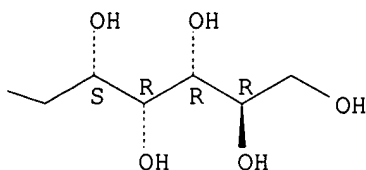
CN D-gluco-Heptitol, 1,2-dideoxy-1-[[4-[[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenyl)methyl]amino]carbonyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:487523 HCAPLUS

DOCUMENT NUMBER: 137:63113

TITLE: Method for producing novel 1,2-diphenylazetidinones, medicaments containing them, and their use for treating disorders of lipid metabolism

INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie;

Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard;
 Lindenschmidt, Andreas; Schaefer, Hans-Ludwig
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
 SOURCE: PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|-------------|
| WO 2002050027 | A1 | 20020627 | WO 2001-EP14531 | 20011211 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10064398 | A1 | 20020627 | DE 2000-10064398 | 20001221 |
| DE 10152981 | A1 | 20030508 | DE 2001-10152981 | 20011026 |
| CA 2431983 | AA | 20020627 | CA 2001-2431983 | 20011211 |
| AU 2002016097 | A5 | 20020701 | AU 2002-16097 | 20011211 |
| EE 200300236 | A | 20030815 | EE 2003-236 | 20011211 |
| EP 1345895 | A1 | 20030924 | EP 2001-271353 | 20011211 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001016325 | A | 20031014 | BR 2001-16325 | 20011211 |
| JP 2004516280 | T2 | 20040603 | JP 2002-551524 | 20011211 |
| NZ 526593 | A | 20050225 | NZ 2001-526593 | 20011211 |
| US 2002137689 | A1 | 20020926 | US 2001-21502 | 20011219 |
| US 6992067 | B2 | 20060131 | | |
| ZA 2003004093 | A | 20040423 | ZA 2003-4093 | 20030527 |
| NO 2003002734 | A | 20030818 | NO 2003-2734 | 20030616 |
| US 2005267038 | A1 | 20051201 | US 2005-155109 | 20050617 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | DE 2000-10064398 | A 20001221 |
| | | | DE 2001-10152981 | A 20011026 |
| | | | WO 2001-EP14531 | W 20011211 |
| | | | US 2001-21502 | A3 20011219 |
| OTHER SOURCE(S): CASREACT 137:63113; MARPAT 137:63113 | | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to the compds. I [R1, R2, R3, R4, R5, R6 =
 C0-30-alkylene-LAG {optionally containing O, CO, CH:CH, C.tplbond.C,
 N(C1-6-alkyl), N(C1-6-alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN,
 CO2H, CO2(C1-6-alkyl), CONH, CONH(C1-6-alkyl), CON(C1-6-alkyl)2,
 C1-6-alkyl, C1-6-alkenyl, C1-6-alkynyl, O-(C1-6-alkyl), SO2NH2,
 SO2NH(C1-6-alkyl) SO2N(C1-6-alkyl)2, S-(C1-6-alkyl), SO(C1-6-alkyl),
 (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(C1-6-alkyl), SO2(CH2)nPh, NH2,
 NH(C1-6-alkyl), N(C1-6-alkyl)2, NH(C1-6-acyl), (un)substituted Ph,
 O(CH2)nPh; LAG = sugar residue, di-, tri-, tetrasaccharide, carbohydrate

acid, amino sugar, amino acid, oligopeptide (2 - 9 residues), (trialkylammonium)alkyl, OSO₃H] and to their physiol. acceptable salts, suitable, for example, as hypolipidemics. Thus, 1,2-diphenylazetidinone II [R10 = CO(CH₂)₁₁NHCO(CHOH)4CH₂OH] was prepared from (methoxyphenyl)azetidinone II (R10 = H) via N-acylation with 12-[(2,3,4,5,6-pentahydroxyhexanoyl)amino]dodecanoic acid. Azetidinone II was tested for its cholesterol lowering ability [ED₅₀ = 0.003 mg/mouse].

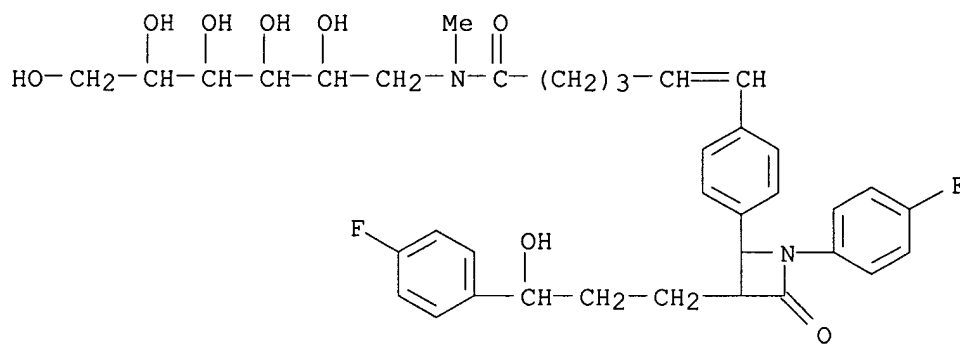
IT 439080-89-4P 439080-95-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

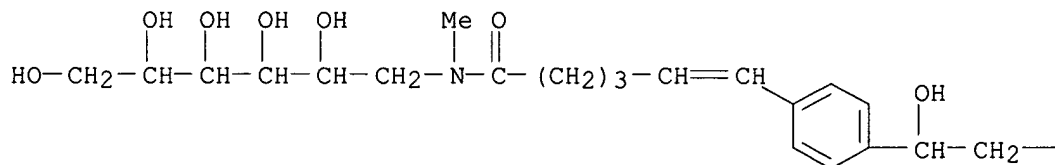
RN 439080-89-4 HCAPLUS

CN Hexitol, 1-deoxy-1-[[6-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-1-oxo-5-hexenyl]methylamino]-(9CI) (CA INDEX NAME)



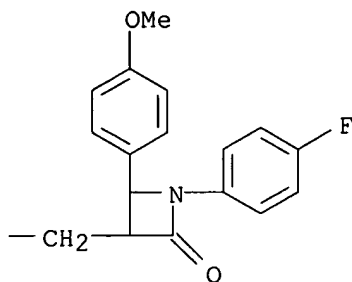
RN 439080-95-2 HCAPLUS

CN Hexitol, 1-deoxy-1-[[6-[4-[3-[1-(4-fluorophenyl)-2-(4-methoxyphenyl)-4-oxo-3-azetidinyl]-1-hydroxypropyl]phenyl]-1-oxo-5-hexenyl]methylamino]-(9CI) (CA INDEX NAME)



PAGE 1-A

PAGE 1-B

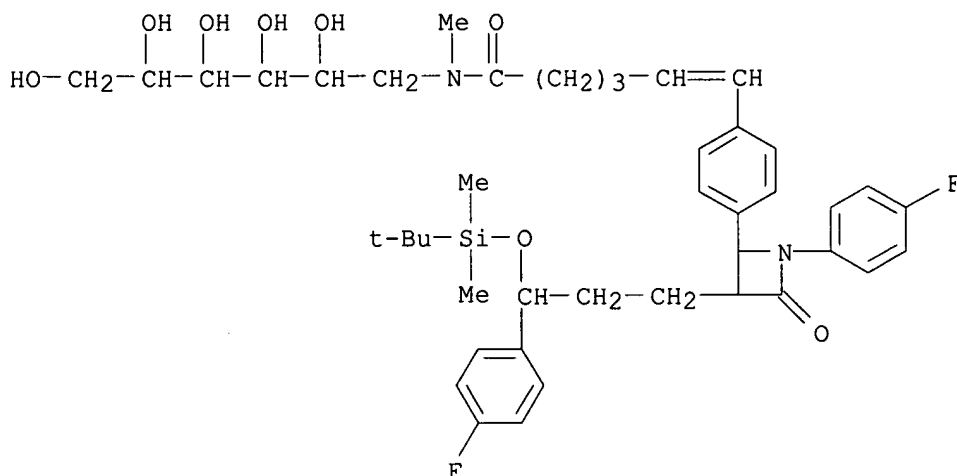


IT 439080-88-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

RN 439080-88-3 HCAPLUS

CN Hexitol, 1-deoxy-1-[[6-[4-[3-[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl]-1-oxo-5-hexenyl]methylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:337121 HCAPLUS

DOCUMENT NUMBER: 133:135519

TITLE: Synthesis of a new chiral oxazolidinone auxiliary based on D-xylose and its application to the Staudinger reaction

AUTHOR(S): Saul, Robert; Kopf, Jurgen; Koll, Peter

CORPORATE SOURCE: Department of Chemistry, University of Oldenburg, Oldenburg, D-26111, Germany

SOURCE: Tetrahedron: Asymmetry (2000), 11(2), 423-433

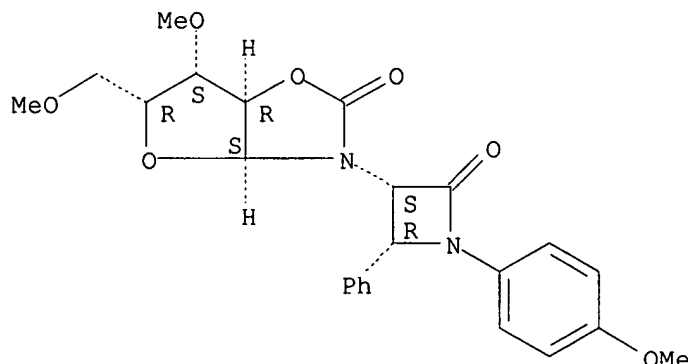
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:135519
AB The synthesis of a new chiral oxazolidinone auxiliary based on D-xylose is described which is employed in diastereoselective Staudinger-type β -lactam syntheses. Using 2-chloro-1-methylpyridinium iodide as the dehydrating reagent, the reaction of auxiliary tethered acetic acid with acyclic or cyclic imines gave the desired β -lactams in good yields with excellent cis- or trans-selectivity depending on the geometry of the imine. X-Ray structure determination of one of the obtained compds. corroborated the absolute configuration for all cis products.
IT **286435-80-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of a new chiral oxazolidinone auxiliary based on D-xylose and its application to the Staudinger reaction)
RN 286435-80-1 HCAPLUS
CN Furo[2,3-d]oxazol-2(3H)-one, tetrahydro-6-methoxy-5-(methoxymethyl)-3-[(3S,4R)-1-(4-methoxyphenyl)-2-oxo-4-phenyl-3-azetidiny]-, (3aS,5R,6S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil beilstein

FILE 'BEILSTEIN' ENTERED AT 09:45:17 ON 02 FEB 2006

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FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.

*** FILE CONTAINS 9,428,406 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link

between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

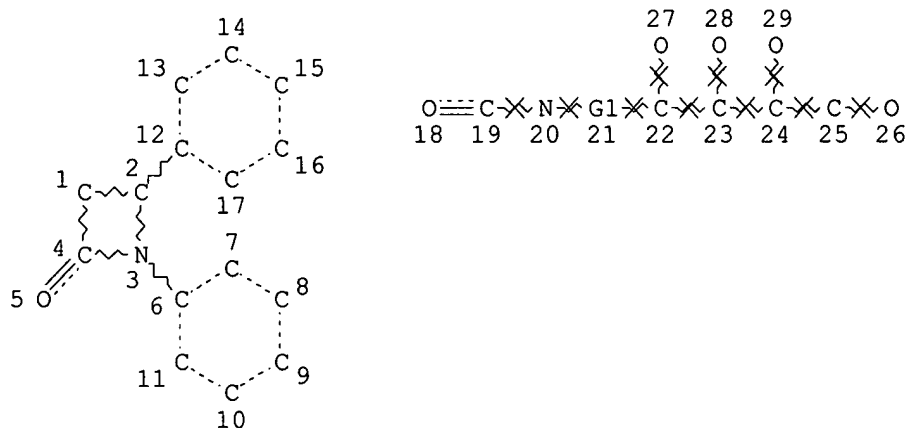
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 * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
 * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
 * FOR PRICE INFORMATION SEE HELP COST *

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 * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> d que stat 16

L1 STR



REP G1=(1-3) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L5 1 SEA FILE=BEILSTEIN SSS FUL L1

L6 1 SEA FILE=BEILSTEIN ABB=ON PLU=ON L5/COM

=> d 16 ide allref

L6 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 8586283

Chemical Name (CN): 1-N-<cis-(3'S,4'R)-2'-oxo-4'-phenyl-1'-(p-methoxyphenyl)-3'-azetidiny>-1-N,2-O-carbonyl-3,5-di-O-methyl- α -D-xylofuranosylamine

Autonom Name (AUN): 6-methoxy-5-methoxymethyl-3-<1-(4-methoxyphenyl)-2-oxo-4-phenyl-azetidin-3-yl>-tetrahydro-furo<2,3-d>oxazol-2-one

Molec. Formula (MF): C₂₄ H₂₆ N₂ O₇

Molecular Weight (MW): 454.48

Lawson Number (LN): 31877, 27709, 14892, 289

File Segment (FS): Stereo compound

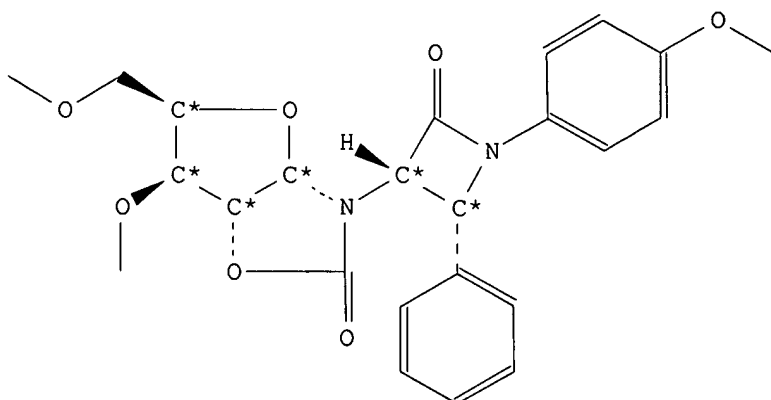
Compound Type (CTYPE): heterocyclic

Constitution ID (CONSID): 7271356

Tautomer ID (TAUTID): 8075597

Entry Date (DED): 2000/10/24

Update Date (DUPD): 2000/10/24



Field Availability:

| Code | Name | Occurrence |
|--------|----------------------------|------------|
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| CN | Chemical Name | 1 |
| AUN | Autonomname | 1 |
| MF | Molecular Formula | 1 |
| FW | Formular Weight | 1 |
| LN | Lawson Number | 4 |
| FS | File Segment | 1 |
| CTYPE | Compound Type | 1 |
| CONSID | Constitution ID | 1 |
| TAUTID | Tautomer ID | 1 |
| DED | Entry Date | 1 |
| DUPD | Update Date | 1 |
| MP | Melting Point | 1 |
| NMR | Nuclear Magnetic Resonance | 3 |
| ORP | Optical Rotatory Power | 1 |

This substance also occurs in Reaction Documents:

| Code | Name | Occurrence |
|-------|-------------------------------|------------|
| RX | Reaction Documents | 1 |
| RXPRO | Substance is Reaction Product | 1 |

All References:

ALLREF

1. Saul, Robert; Kopf, Juergen; Koell, Peter, Tetrahedron: Asymmetry, CODEN: TASYE3, 11(2), <2000>, 423 - 434; BABS-6242731

=> fil marpat

FILE 'MARPAT' ENTERED AT 09:45:42 ON 02 FEB 2006

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FILE CONTENT: 1969-PRESENT (VOL 144 ISS 5 (20060127/ED))

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6962795 08 NOV 2005

DE 1020040544 17 NOV 2005

EP 1595877 16 NOV 2005

JP 2005328067 24 NOV 2005

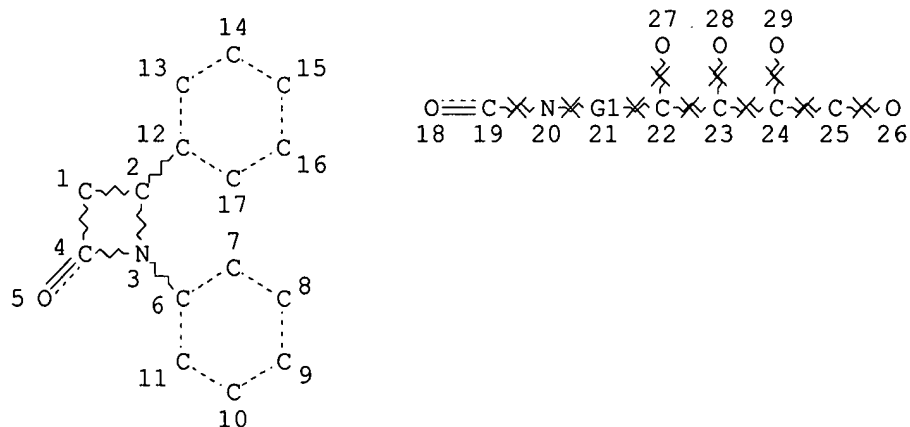
WO 2005112644 01 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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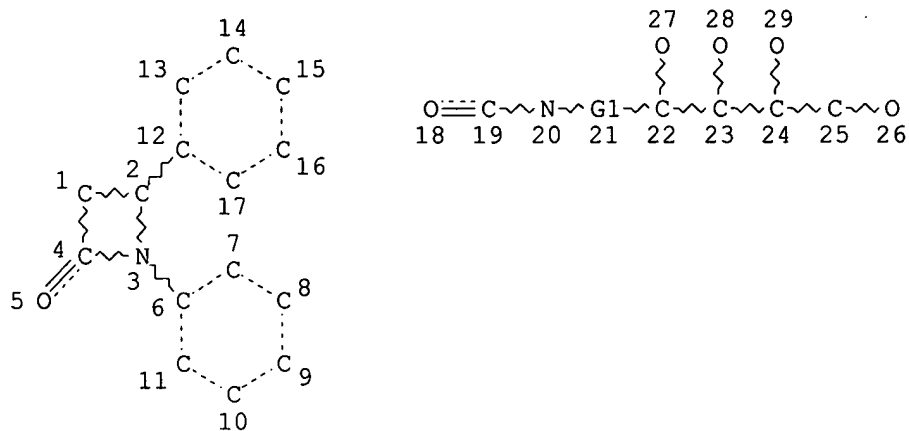
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STEREO ATTRIBUTES: NONE

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L4 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L3
L7 STR



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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

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L11 0 SEA FILE=MARPAT ABB=ON PLU=ON L10 NOT L4